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DATE: Wednesday, July 26, 2006

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	DB=PGPB,USPT; PLUR=YES; OP=OR		
☐	L1	548/441.ccls.	144

END OF SEARCH HISTORY

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NEWS	4	APR 04	STN AnaVist $500 visualization usage credit offered
NEWS	5	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS	6	MAY 11	KOREAPAT updates resume
NEWS	7	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS	8	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2
NEWS	9	MAY 30	The F-Term thesaurus is now available in CA/CAplus
NEWS	10	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS	11	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
NEWS	12	JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS	13	JUl 11	CHEMSAFE reloaded and enhanced
NEWS	14	JUl 14	FSTA enhanced with Japanese patents
NEWS	15	JUl 19	Coverage of Research Disclosure reinstated in DWPI

NEWS EXPRESS	JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.
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FILE 'HOME' ENTERED AT 07:10:20 ON 26 JUL 2006

=> file reg
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION

FULL ESTIMATED COST 0.21 0.21

FILE 'REGISTRY' ENTERED AT 07:10:30 ON 26 JUL 2006

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 25 JUL 2006 HIGHEST RN 895581-37-0
DICTIONARY FILE UPDATES: 25 JUL 2006 HIGHEST RN 895581-37-0

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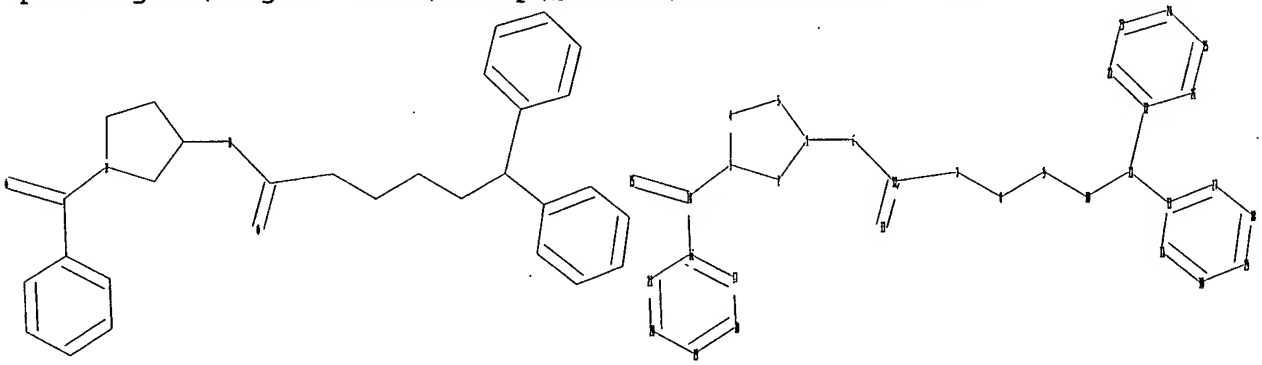
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10763974\Struc 3.str



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6 7 8 9 10 11 14 15 32 33
ring nodes :
1 2 3 4 5 12 13 16 17 18 19 20 21 22 23 24 25 26 27 28 29 30 31
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ring bonds :

10763974.trn

1-2 1-5 2-3 3-4 4-5 12-22 12-26 13-27 13-31 16-17 16-21 17-18 18-19
19-20 20-21 22-23 23-24 24-25 25-26 27-28 28-29 29-30 30-31
exact/norm bonds :
1-2 1-5 1-6 2-3 3-4 3-14 4-5 6-32 14-15 32-33
exact bonds :
7-32 7-8 8-9 9-10 10-11 11-12 11-13 14-16
normalized bonds :
12-22 12-26 13-27 13-31 16-17 16-21 17-18 18-19 19-20 20-21 22-23 23-24
24-25 25-26 27-28 28-29 29-30 30-31

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:Atom 13:Atom 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom
19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom
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L1 STRUCTURE UPLOADED

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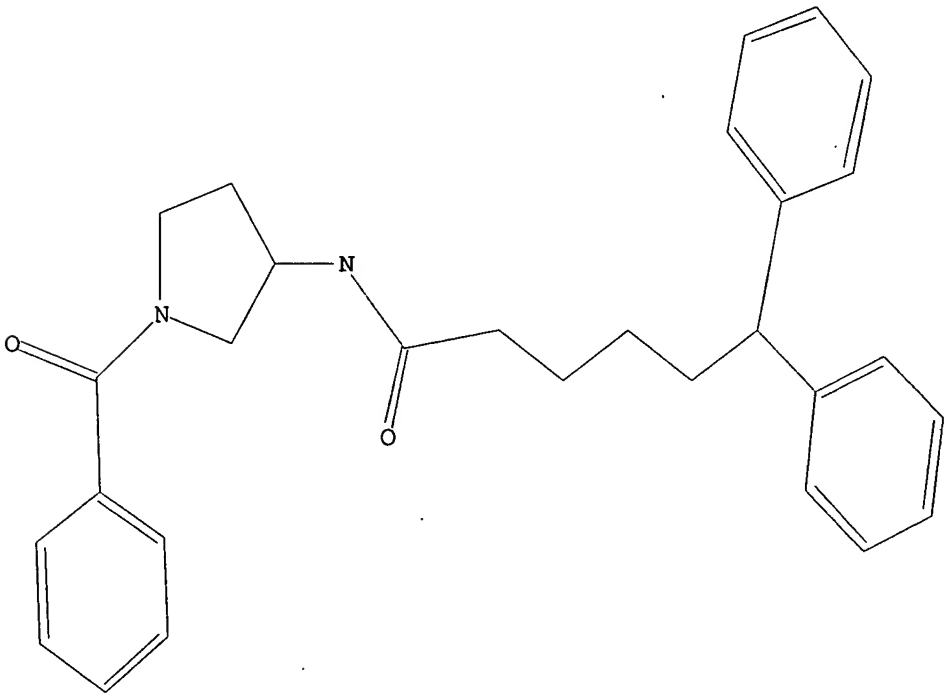
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
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PROJECTED ANSWERS:               1 TO       80

L2            1 SEA SSS SAM L1

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100.0% PROCESSED      106 ITERATIONS                               10 ANSWERS
SEARCH TIME: 00.00.01

L3            10 SEA SSS FUL L1

=> file medline caplus
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 166.94     167.15

FILE 'MEDLINE' ENTERED AT 07:11:15 ON 26 JUL 2006

FILE 'CAPLUS' ENTERED AT 07:11:15 ON 26 JUL 2006
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=> l3
L4            1 L3

=> d ibib abs hitstr

L4   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2005:672888  CAPLUS
DOCUMENT NUMBER:          143:172750
TITLE:                    Preparation of 3-aminopyrrolidine useful as N-type
                          calcium channel blockers
INVENTOR(S):              Pajouhesh, Hassan; Pajouhesh, Hossein; Ding, Yanbing;
                          Snutch, Terrance P.
PATENT ASSIGNEE(S):       Can.
SOURCE:                   U.S. Pat. Appl. Publ., 41 pp.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
     --------------       ----   --------    --------------------     --------
     US 2005165065         A1    20050728    US 2004-763974           20040122
     WO 2005070919         A1    20050804    WO 2005-CA73             20050121
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
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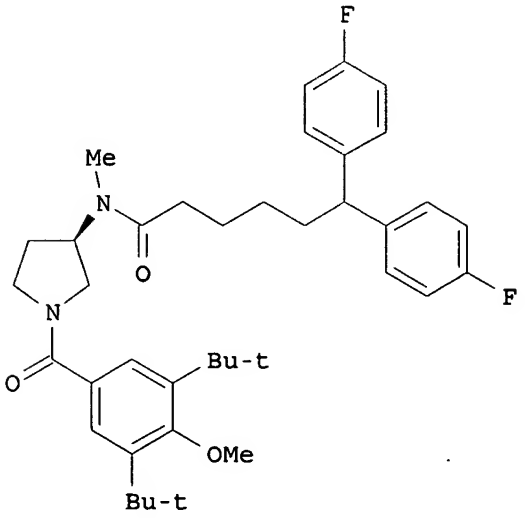
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-763974 A 20040122
OTHER SOURCE(S): MARPAT 143:172750
GI



I

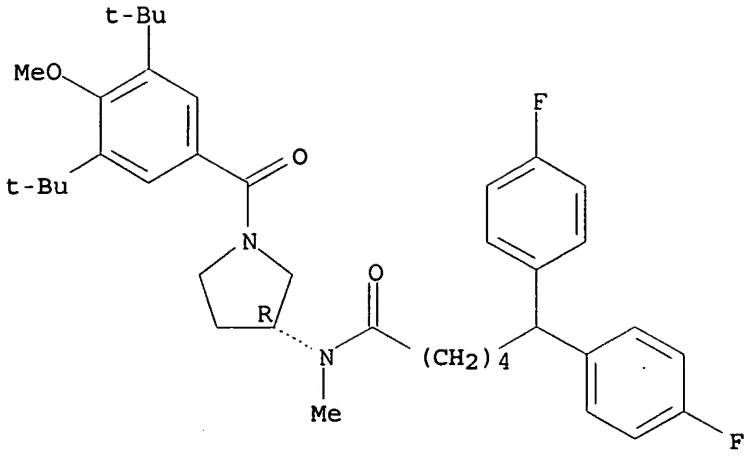
AB Title compds. I, II; X1 = N, CR3; W = L2A3, X1A1A2; L1, L2 = (substituted) alkylene, alkenylene optionally interrupted by N, O, S; A1, A2, A3 = (fused) (substituted) 6-7 membered (hetero)aliphatyl, (hetero)aryl; R1, R2 = noninterfering substituent; R3 = H, noninterfering substituent; n = 0-3; [with a proviso], were prepared The invention compds. generally contain ≥1 benzhydryl moiety, and are useful in treating conditions which benefit from blocking calcium ion channels. For instance, 3-aminopyrrolidine derivative III (IC50 at 0.067 Hz: 67 nM) was prepared via amidation of 6,6-bis-(4-fluorophenyl)hexanoic acid by (R)-(1-benzylpyrrolidin-3-yl)(methyl)amine, N-debenzylation, and subsequent amidation of the obtained aminopyrrolidine derivative by 3,5-di-tert-butyl-4-methoxybenzoic acid.

IT 861104-36-1P 861104-41-8P 861104-66-7P
861104-68-9P 861104-70-3P 861104-72-5P
861104-76-9P 861104-77-0P 861104-92-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-aminopyrrolidine derivs. useful as N-type calcium channel blockers)

RN 861104-36-1 CAPLUS

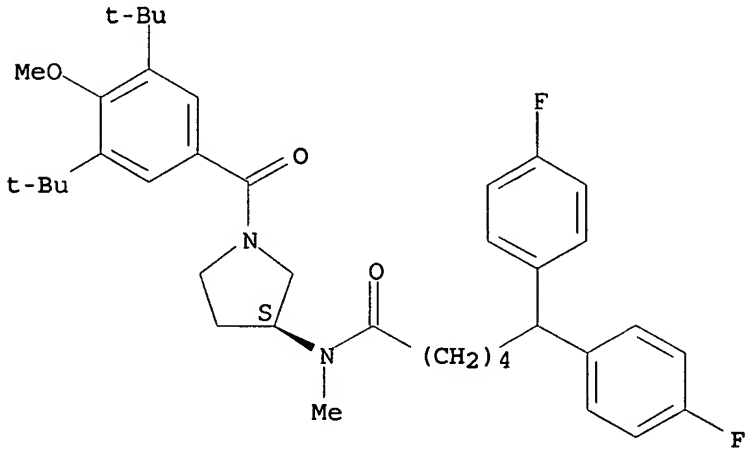
CN Benzenehexanamide, N-[(3R)-1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]-3-pyrrolidinyl]-4-fluoro-ε-(4-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



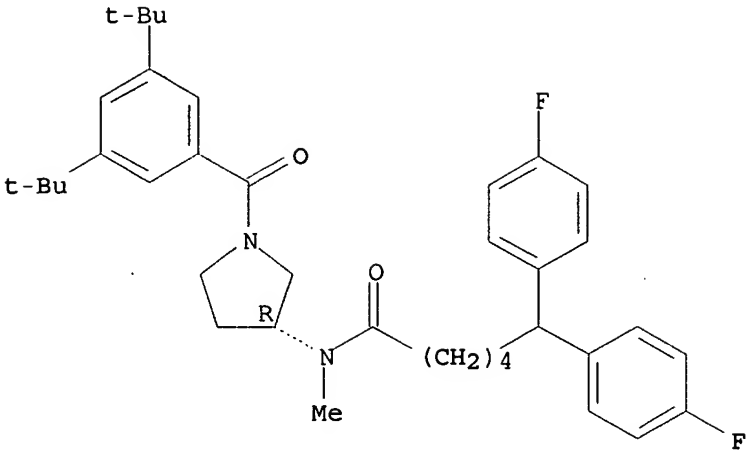
RN 861104-41-8 CAPLUS
CN Benzenehexanamide, N-[(3S)-1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]-3-pyrrolidinyl]-4-fluoro-ε-(4-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



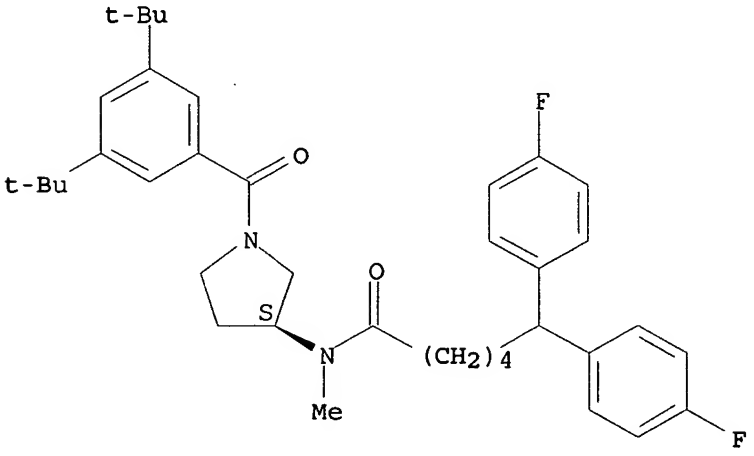
RN 861104-66-7 CAPLUS
CN Benzenehexanamide, N-[(3R)-1-[3,5-bis(1,1-dimethylethyl)benzoyl]-3-pyrrolidinyl]-4-fluoro-ε-(4-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



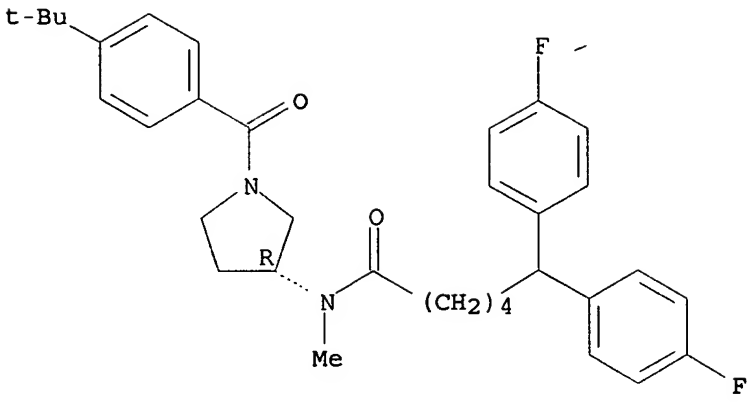
RN 861104-68-9 CAPLUS
CN Benzenehexanamide, N-[(3S)-1-[3,5-bis(1,1-dimethylethyl)benzoyl]-3-pyrrolidinyl]-4-fluoro-ε-(4-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



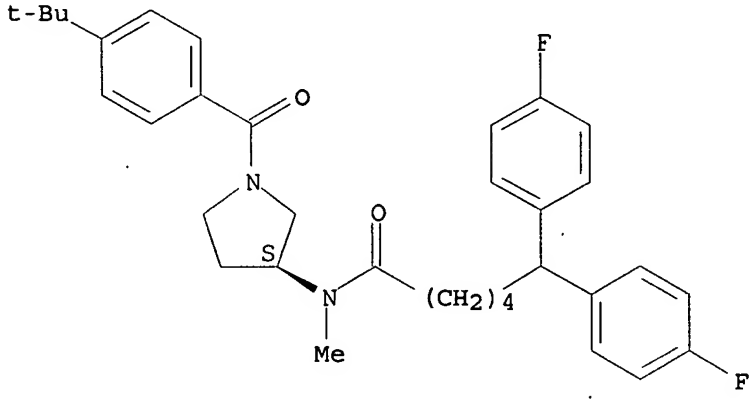
RN 861104-70-3 CAPLUS
CN Benzenehexanamide, N-[(3R)-1-[4-(1,1-dimethylethyl)benzoyl]-3-pyrrolidinyl]-4-fluoro-ε-(4-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



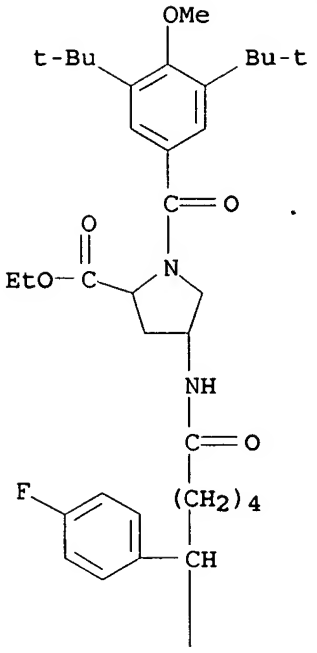
RN 861104-72-5 CAPLUS
CN Benzenehexanamide, N-[(3S)-1-[4-(1,1-dimethylethyl)benzoyl]-3-pyrrolidinyl]-4-fluoro-ε-(4-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

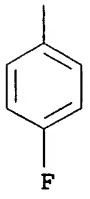


RN 861104-76-9 CAPLUS
CN Proline, 1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]-4-[[6,6-bis(4-fluorophenyl)-1-oxohexyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

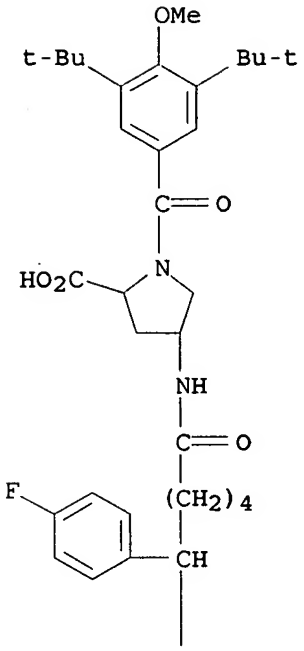


PAGE 2-A

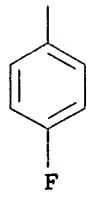


RN 861104-77-0 CAPLUS
CN Proline, 1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]-4-[[6,6-bis(4-fluorophenyl)-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A

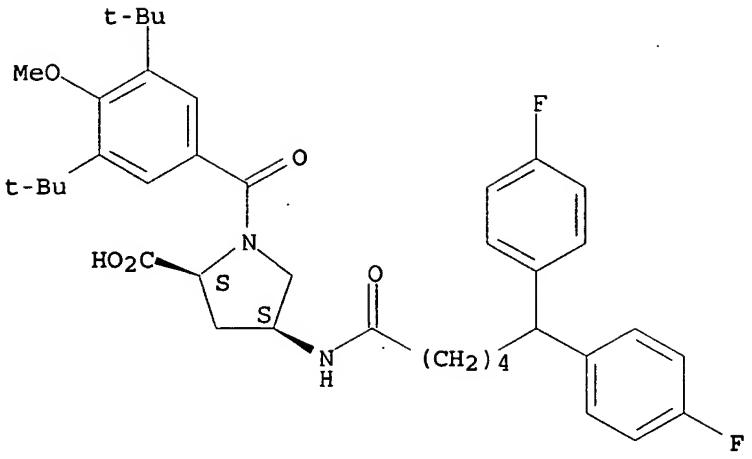


PAGE 2-A



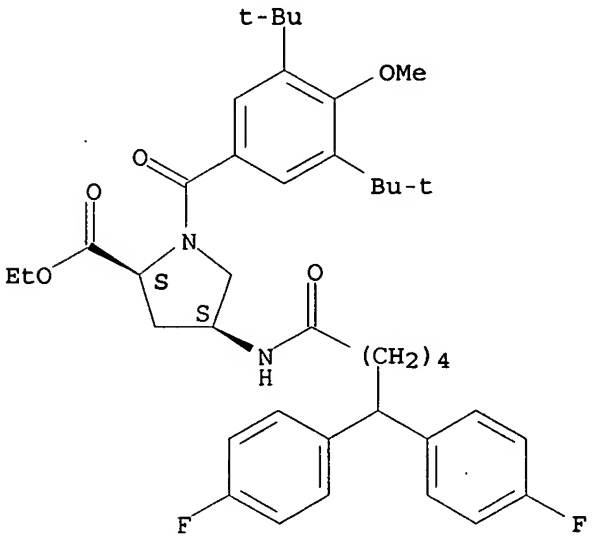
RN 861104-92-9 CAPLUS
CN L-Proline, 1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]-4-[[6,6-bis(4-fluorophenyl)-1-oxohexyl]amino]-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 861104-91-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-aminopyrrolidine derivs. useful as N-type calcium channel blockers)
RN 861104-91-8 CAPLUS
CN L-Proline, 1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]-4-[[6,6-bis(4-fluorophenyl)-1-oxohexyl]amino]-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	6.16	173.31

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL

	ENTRY	SESSION
CA SUBSCRIBER PRICE	-0.75	-0.75

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 14	JUl 14	FSTA enhanced with Japanese patents
NEWS 15	JUl 19	Coverage of Research Disclosure reinstated in DWPI

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NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 10:38:46 ON 26 JUL 2006

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:38:52 ON 26 JUL 2006

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 25 JUL 2006 HIGHEST RN 895581-37-0
DICTIONARY FILE UPDATES: 25 JUL 2006 HIGHEST RN 895581-37-0

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http://www.cas.org/ONLINE/UG/regprops.html

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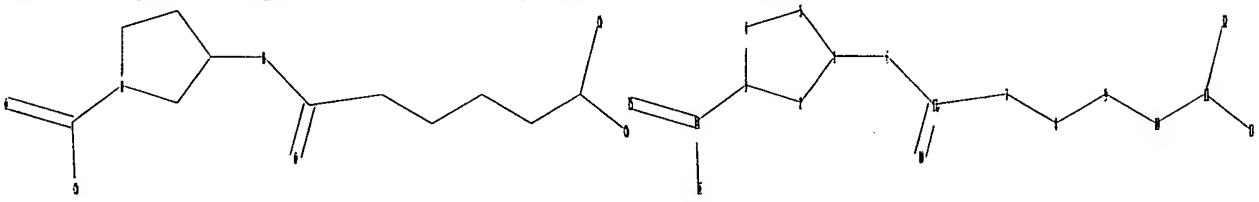
Uploading C:\Program Files\Stnexp\Queries\10763974\Struc 4.str

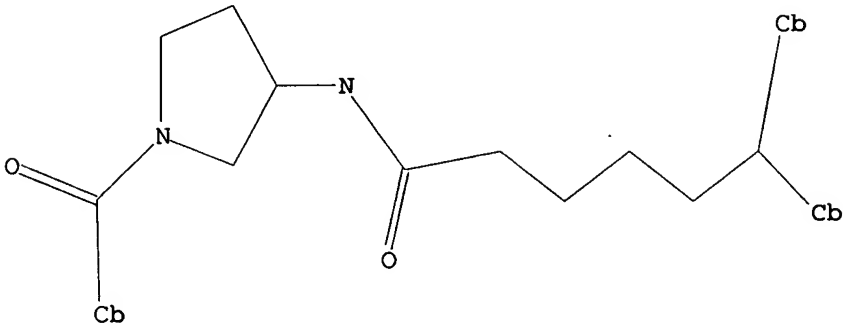


chain nodes :
6 7 8 9 10 11 12 13 14 15 16 17 18
ring nodes :
1 2 3 4 5
chain bonds :
1-6 3-14 6-17 7-17 7-8 8-9 9-10 10-11 11-12 11-13 14-15 14-16 17-18
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-6 2-3 3-4 3-14 4-5 6-17 14-15 17-18
exact bonds :
7-17 7-8 8-9 9-10 10-11 11-12 11-13 14-16

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:Atom 13:Atom 14:CLASS 15:CLASS 16:Atom 17:CLASS
18:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 32 TO ITERATE

100.0% PROCESSED 32 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 301 TO 979
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> l1 full
FULL SEARCH INITIATED 10:39:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 822 TO ITERATE

100.0% PROCESSED 822 ITERATIONS 10 ANSWERS
SEARCH TIME: 00.00.01

L3 10 SEA SSS FUL L1

=> file medline caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 166.94 167.15

FILE 'MEDLINE' ENTERED AT 10:39:30 ON 26 JUL 2006

FILE 'CAPLUS' ENTERED AT 10:39:30 ON 26 JUL 2006
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

=> l3
L4 1 L3

=> d ibib

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:672888 CAPLUS
DOCUMENT NUMBER: 143:172750
TITLE: Preparation of 3-aminopyrrolidine useful as N-type calcium channel blockers
INVENTOR(S): Pajouhesh, Hassan; Pajouhesh, Hossein; Ding, Yanbing; Snutch, Terrance P.
PATENT ASSIGNEE(S): Can.
SOURCE: U.S. Pat. Appl. Publ., 41 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005165065	A1	20050728	US 2004-763974	20040122
WO 2005070919	A1	20050804	WO 2005-CA73	20050121

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-763974 A 20040122
OTHER SOURCE(S): MARPAT 143:172750

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	2.19	169.34

FILE 'REGISTRY' ENTERED AT 10:39:41 ON 26 JUL 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 25 JUL 2006 HIGHEST RN 895581-37-0

DICTIONARY FILE UPDATES: 25 JUL 2006 HIGHEST RN 895581-37-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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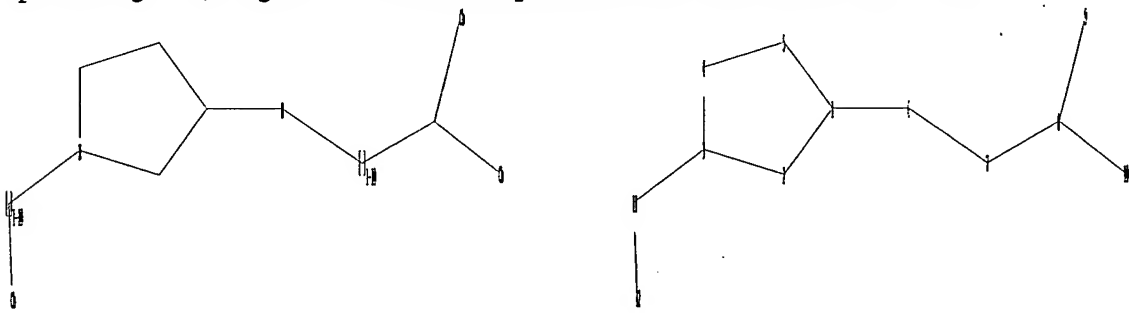

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ring nodes :
1 2 3 4 5
chain bonds :
1-6 3-11 6-7 7-8 8-9 8-10 11-12
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-6 2-3 3-4 3-11 4-5 6-7
exact bonds :
7-8 8-9 8-10 11-12

G1:C,O,S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:Atom 10:Atom 11:CLASS 12:Atom

L5 STRUCTURE UPLOADED

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L5 HAS NO ANSWERS
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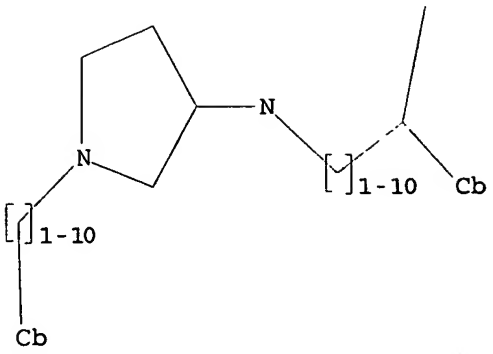

G1 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 2879 TO ITERATE

69.5% PROCESSED 2000 ITERATIONS 3 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 54362 TO 60798
PROJECTED ANSWERS: 3 TO 210

L6 3 SEA SSS SAM L5

=> l5 full
FULL SEARCH INITIATED 10:42:19 FILE 'REGISTRY'
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100.0% PROCESSED 56695 ITERATIONS 52 ANSWERS
SEARCH TIME: 00.00.05

L7 52 SEA SSS FUL L5

=> file medline caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 168.70 338.04

FILE 'MEDLINE' ENTERED AT 10:42:29 ON 26 JUL 2006

FILE 'CAPLUS' ENTERED AT 10:42:29 ON 26 JUL 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

=> l7
L8 11 L7

=> d ibib abs hitstr 1-11

L8 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:295302 CAPLUS
DOCUMENT NUMBER: 144:350723
TITLE: Preparation of phenyl-substituted amine diols and related compounds as muscarinic receptor antagonists for treating diseases such as those of the respiratory, urinary and gastrointestinal systems
INVENTOR(S): Salman, Mohammad; Sarma, Pakala Kumara Savithru; Dharmarajan, Sankaranarayanan; Chugh, Anita; Gupta, Suman
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006032994	A2	20060330	WO 2005-IB2823	20050923
WO 2006032994	A3	20060504		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

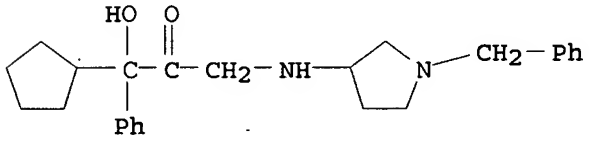
PRIORITY APPLN. INFO.: US 2004-613001P P 20040924
OTHER SOURCE(S): CASREACT 144:350723; MARPAT 144:350723
AB This present invention generally relates to muscarinic receptor antagonists (PhC(X)(OH)C(:G)CH2N(R1)(R2) (I) or PhC(X)(OH)C(G)CH2N(R1)(R2) (II); variables defined below; e.g. 1-cyclopentyl-3-([1,4]diazepan-1-yl)-1-hydroxy-1-phenylpropan-2-one), which are useful, among other uses, for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to the process for the preparation of disclosed compds., pharmaceutical compns. containing the disclosed compds., and the methods for treating diseases mediated through muscarinic receptors. For I and II: X = alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, heterocyclylalkyl, or heteroarylalkyl; R1 = H, alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, aryloxy, -(CH2)0-2-heterocyclylalkyl, or -(CH2)0-2-heteroarylalkyl; R2 = -(CH2)0-2-heteroaryl, -(CH2)0-2-heterocyclyl, or -(CH2)0-2-aryl, or R1 and R2 may together combine to form a (un)saturated monocyclic or bicyclic ring system containing 0-4 heteroatoms (O, N or S) wherein the ring can be (un)substituted with ≥1 of alkyl, alkenyl, alkynyl, cycloalkyl, alkaryl, alkoxy, aryloxy, et al.; G = -OR [R = H or unsubstituted lower (C1-C6) alkyl], -NOR, -NHYR' (R' is H, alkyl or aryl and Y is -C(O), -SO or -SO2), or O (provided that R1 and R2 together does not form a pyrrolidine, 4-hydroxypiperidine, 4-pyrrolidinylpiperidine, piperazine or azabicyclo[3.1.0]hexane ring).

Methods of preparation are claimed and prepns. and/or characterization data for .apprx.80 examples of I are included. For example, 1-cyclopentyl-1-hydroxy-1-phenyl-3-(piperidin-1-yl)propan-2-one was prepared (86 %) from piperidine, Et3N and 3-bromo-1-cyclopentyl-1-hydroxy-1-phenyl-2-propanone (preparation described) in CH2Cl2. Ki values for I tested in a radioligand binding assay range from .apprx.5 nM to .apprx.10 μM for M2 receptors, and from .apprx.0.5 nM to .apprx.10 μM for M3 receptors. Selectivity for bladder pressure inhibition vs. salivation was determined for compound 3 examples of I and was .apprx.2, similar to that determined for tolterodine.

IT 881098-12-0P, 3-[(1-Benzylpyrrolidin-3-yl)amino]-1-cyclopentyl-1-hydroxy-1-phenylpropan-2-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of Ph-substituted amine diols and related compds. as muscarinic receptor antagonists for treating diseases such as those of respiratory, urinary and gastrointestinal systems)

RN 881098-12-0 CAPLUS

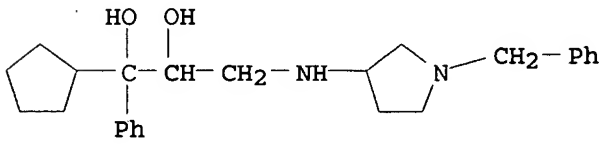
CN 2-Propanone, 1-cyclopentyl-1-hydroxy-1-phenyl-3-[[1-(phenylmethyl)-3-pyrrolidinyl]amino]- (9CI) (CA INDEX NAME)



IT 881098-43-7P, 3-[(1-Benzylpyrrolidin-3-yl)amino]-1-cyclopentyl-1-phenylpropane-1,2-diol 881098-50-6P, 3-[(1-Benzylpyrrolidin-3-yl)amino]-1,1-diphenylpropane-1,2-diol 881098-74-4P, 3-[(1-Benzylpyrrolidin-3-yl)(methyl)amino]-1-cyclopentyl-1-hydroxy-1-phenylpropan-2-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of Ph-substituted amine diols and related compds. as muscarinic receptor antagonists for treating diseases such as those of respiratory, urinary and gastrointestinal systems)

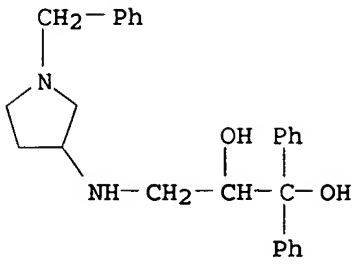
RN 881098-43-7 CAPLUS

CN 1,2-Propanediol, 1-cyclopentyl-1-phenyl-3-[[1-(phenylmethyl)-3-pyrrolidinyl]amino]- (9CI) (CA INDEX NAME)

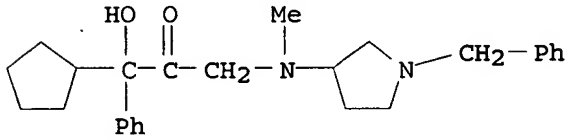


RN 881098-50-6 CAPLUS

CN 1,2-Propanediol, 1,1-diphenyl-3-[[1-(phenylmethyl)-3-pyrrolidinyl]amino]- (9CI) (CA INDEX NAME)



RN 881098-74-4 CAPLUS
CN 2-Propanone, 1-cyclopentyl-1-hydroxy-3-[methyl[1-(phenylmethyl)-3-pyrrolidinyl]amino]-1-phenyl- (9CI) (CA INDEX NAME)



L8 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1290266 CAPLUS
DOCUMENT NUMBER: 144:22804
TITLE: Preparation of pyrrolidine derivatives as CB1 receptor antagonists
INVENTOR(S): Moritani, Yasunori; Furukubo, Shigeru; Tsuboi, Yasunori; Okagaki, Chieko; Oku, Akira; Hirano, Naomitsu
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 205 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005115977	A1	20051208	WO 2005-JP10197	20050527

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:		
JP 2004-160059	A	20040528
US 2004-575409P	P	20040601
JP 2005-7833	A	20050114
US 2005-644992P	P	20050121

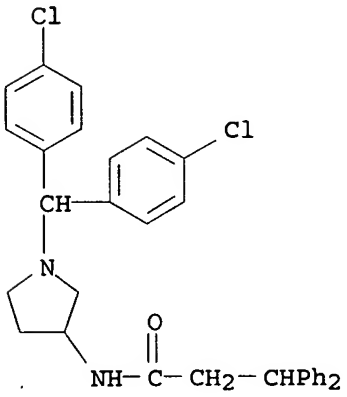
OTHER SOURCE(S): MARPAT 144:22804
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

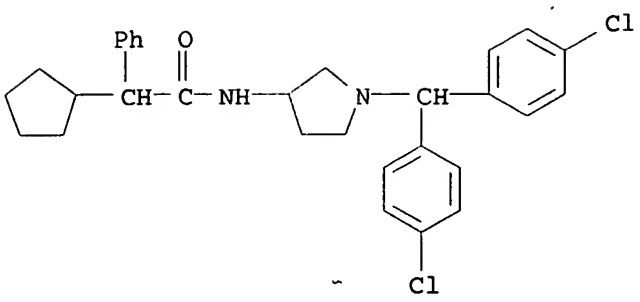
AB Title compds. I [R1 and R2 independently = (un)substituted aryl, heteroaryl or together they may form benzocycloheptane; R3 and R4 independently = H, OH, hydroxyalkyl, etc. or together they may form an oxo group; R5 = H or alkyl; Y = single bond, O or -NR7-; R6 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R7 = alkyl or alkyloxycarbonylalkyl with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as antagonists of CB1 receptor. Thus, e.g., II was prepared by benzoylation of (3R)-1-[bis-(4-chlorophenyl)methyl]-3-aminopyrrolidine (preparation given) with 4-(trifluoromethoxy)benzoyl chloride. I as antagonists of CB1 receptor should prove useful in the treatment of diseases such as but not limited to depression, migraine and obesity. Pharmaceutical compns. comprising I are disclosed.

IT 870626-37-2P 870626-40-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidine derivs. as CB1 receptor antagonists)

RN 870626-37-2 CAPLUS
CN Benzenepropanamide, N-[1-[bis(4-chlorophenyl)methyl]-3-pyrrolidinyl]-β-phenyl- (9CI) (CA INDEX NAME)



RN 870626-40-7 CAPLUS
CN Benzeneacetamide, N-[1-[bis(4-chlorophenyl)methyl]-3-pyrrolidinyl]-α-cyclopentyl- (9CI) (CA INDEX NAME)



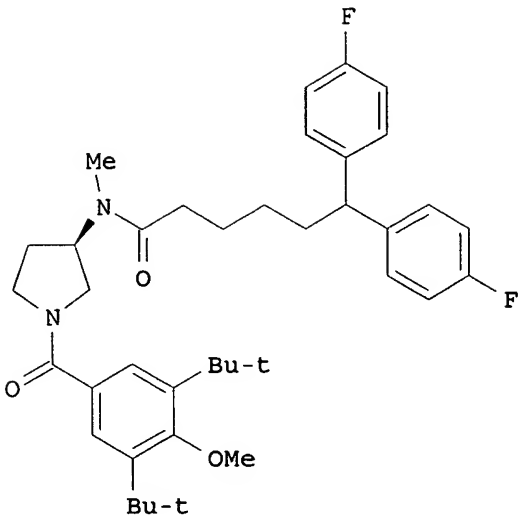
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:672888 CAPLUS
DOCUMENT NUMBER: 143:172750
TITLE: Preparation of 3-aminopyrrolidine useful as N-type calcium channel blockers
INVENTOR(S): Pajouhesh, Hassan; Pajouhesh, Hossein; Ding, Yanbing; Snutch, Terrance P.
PATENT ASSIGNEE(S): Can.
SOURCE: U.S. Pat. Appl. Publ., 41 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005165065	A1	20050728	US 2004-763974	20040122
WO 2005070919	A1	20050804	WO 2005-CA73	20050121

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-763974 A 20040122
OTHER SOURCE(S): MARPAT 143:172750
GI



I

AB Title compds. I, II; X1 = N, CR3; W = L2A3, X1A1A2; L1, L2 = (substituted) alkylene, alkenylene optionally interrupted by N, O, S; A1, A2, A3 = (fused) (substituted) 6-7 membered (hetero)aliphatyl, (hetero)aryl; R1, R2 = noninterfering substituent; R3 = H, noninterfering substituent; n = 0-3; [with a proviso], were prepared The invention compds. generally contain ≥1 benzhydryl moiety, and are useful in treating conditions which benefit from blocking calcium ion channels. For instance, 3-aminopyrrolidine derivative III (IC50 at 0.067 Hz: 67 nM) was prepared via amidation of 6,6-bis-(4-fluorophenyl)hexanoic acid by (R)-(1-benzylpyrrolidin-3-yl)(methyl)amine, N-debenzylation, and subsequent amidation of the obtained aminopyrrolidine derivative by 3,5-di-tert-butyl-4-methoxybenzoic acid.

IT 861104-36-1P 861104-39-4P 861104-41-8P
861104-42-9P 861104-46-3P 861104-47-4P
861104-48-5P 861104-56-5P 861104-58-7P
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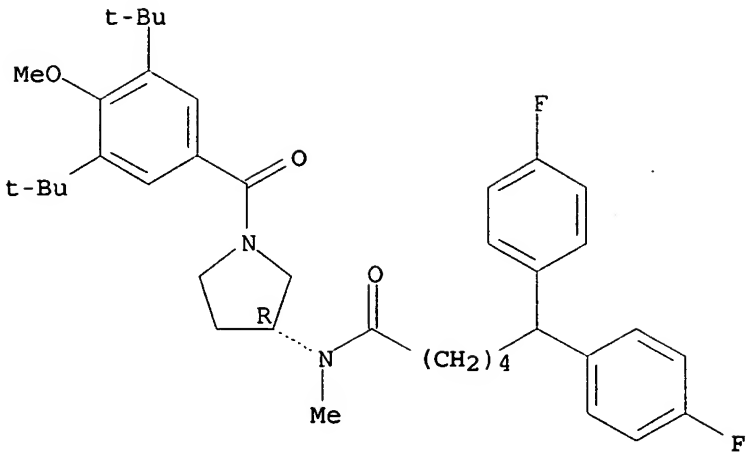
861104-78-1P 861104-79-2P 861104-80-5P
861104-92-9P 861104-95-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-aminopyrrolidine derivs. useful as N-type calcium channel blockers)

RN 861104-36-1 CAPLUS

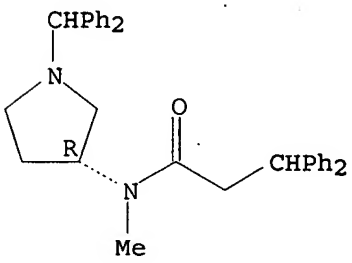
CN Benzenehexanamide, N-[(3R)-1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]-3-pyrrolidinyl]-4-fluoro-ε-(4-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



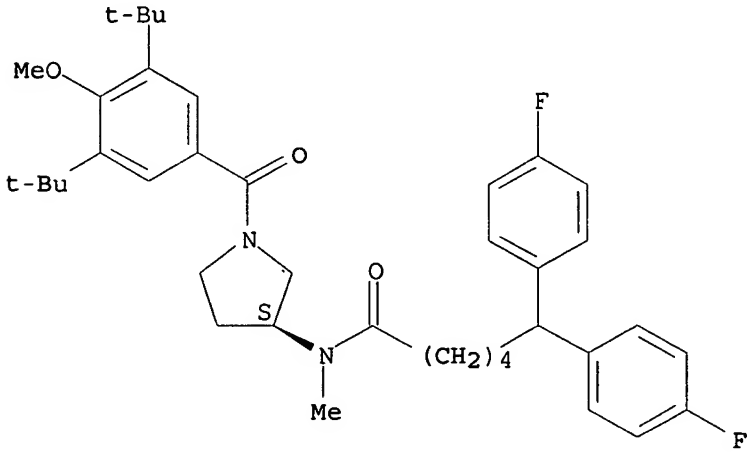
RN 861104-39-4 CAPLUS
CN Benzenepropanamide, N-[(3R)-1-(diphenylmethyl)-3-pyrrolidinyl]-N-methyl-β-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



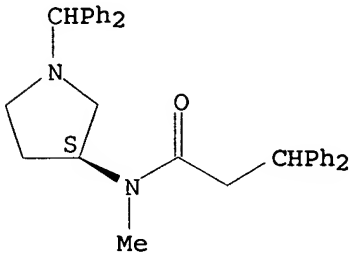
RN 861104-41-8 CAPLUS
CN Benzenehexanamide, N-[(3S)-1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]-3-pyrrolidinyl]-4-fluoro-ε-(4-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



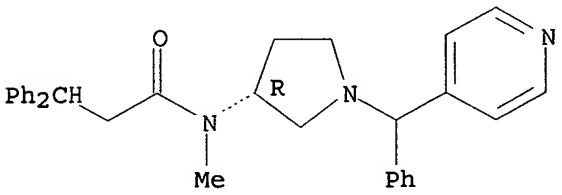
RN 861104-42-9 CAPLUS
CN Benzenepropanamide, N-[(3S)-1-(diphenylmethyl)-3-pyrrolidinyl]-N-methyl-β-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



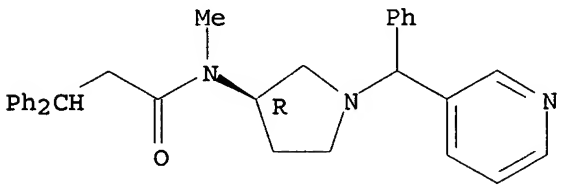
RN 861104-46-3 CAPLUS
CN Benzenepropanamide, N-methyl-β-phenyl-N-[(3R)-1-(phenyl-4-pyridinylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



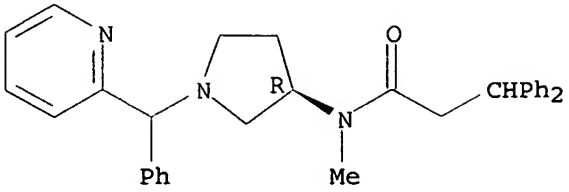
RN 861104-47-4 CAPLUS
CN Benzenepropanamide, N-methyl-β-phenyl-N-[(3R)-1-(phenyl-3-pyridinylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



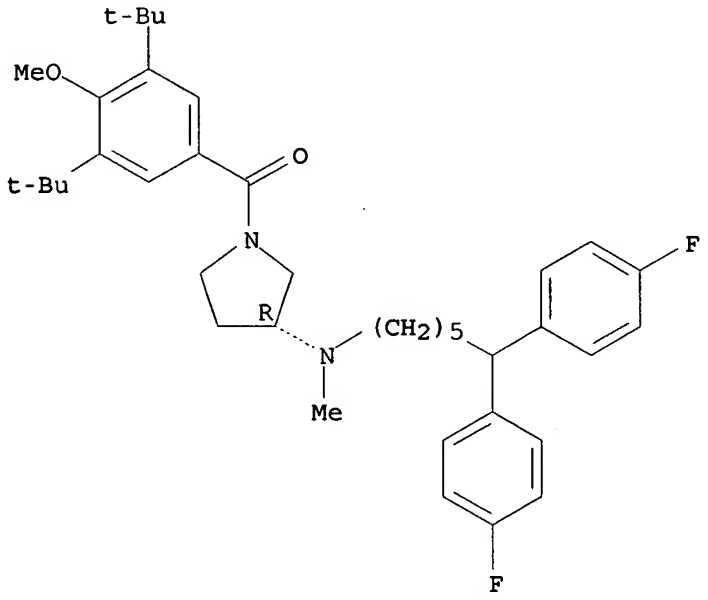
RN 861104-48-5 CAPLUS
CN Benzenepropanamide, N-methyl-β-phenyl-N-[(3R)-1-(phenyl-2-pyridinylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



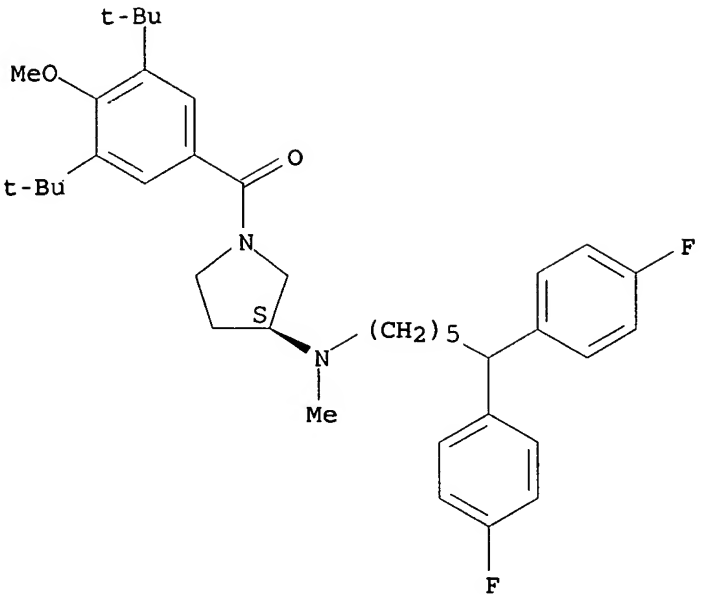
RN 861104-56-5 CAPLUS
CN 3-Pyrrolidinamine, 1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]-N-[6,6-bis(4-fluorophenyl)hexyl]-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



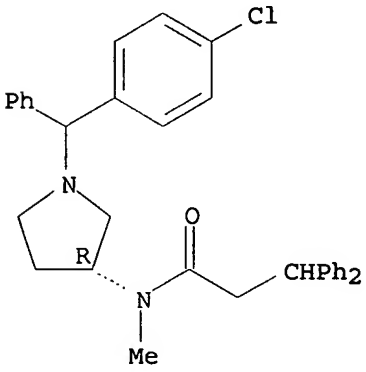
RN 861104-58-7 CAPLUS
CN 3-Pyrrolidinamine, 1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]-N-[6,6-bis(4-fluorophenyl)hexyl]-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



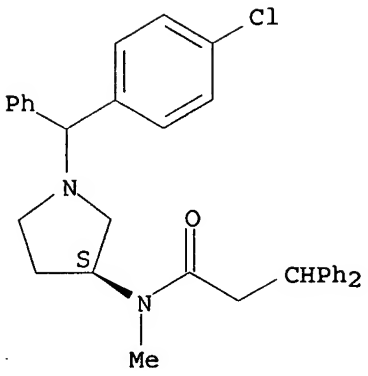
RN 861104-59-8 CAPLUS
CN Benzenepropanamide, N-[(3R)-1-[(4-chlorophenyl)phenylmethyl]-3-pyrrolidinyl]-N-methyl-β-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



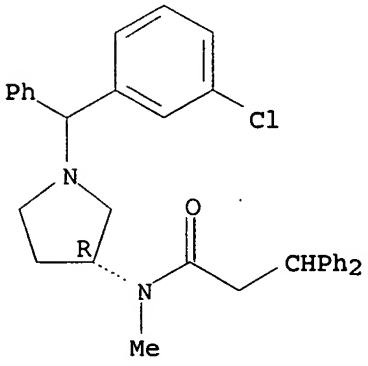
RN 861104-60-1 CAPLUS
CN Benzenepropanamide, N-[(3S)-1-[(4-chlorophenyl)phenylmethyl]-3-pyrrolidinyl]-N-methyl-β-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



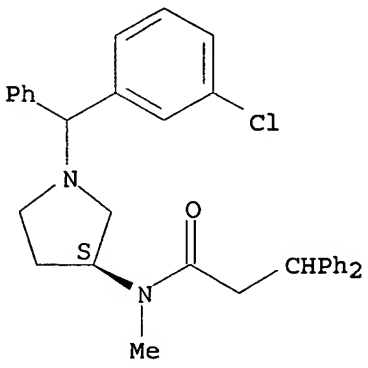
RN 861104-61-2 CAPLUS
CN Benzenepropanamide, N-[(3R)-1-[(3-chlorophenyl)phenylmethyl]-3-pyrrolidinyl]-N-methyl-β-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 861104-62-3 CAPLUS
CN Benzenepropanamide, N-[(3S)-1-[(3-chlorophenyl)phenylmethyl]-3-pyrrolidinyl]-N-methyl-β-phenyl- (9CI) (CA INDEX NAME)

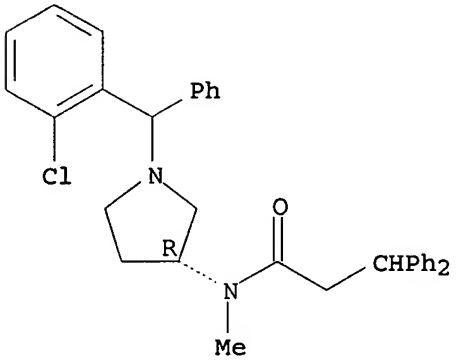
Absolute stereochemistry.



RN 861104-63-4 CAPLUS
CN Benzenepropanamide, N-[(3R)-1-[(2-chlorophenyl)phenylmethyl]-3-

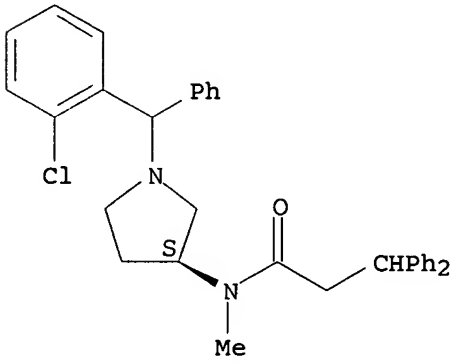
pyrrolidinyl]-N-methyl-β-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



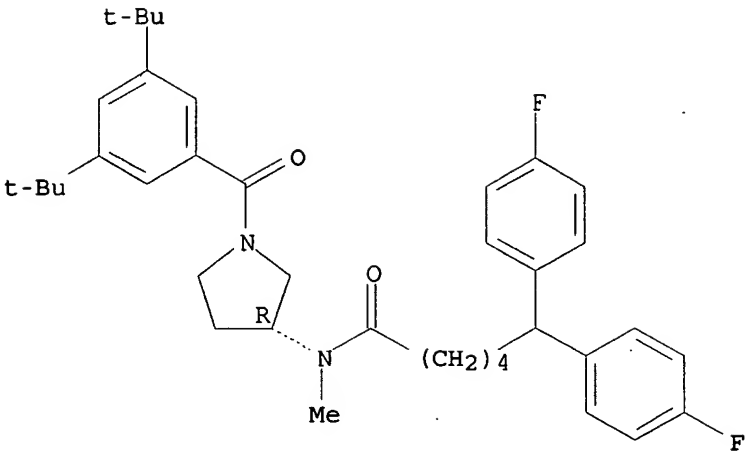
RN 861104-64-5 CAPLUS
CN Benzenepropanamide, N-[(3S)-1-[(2-chlorophenyl)phenylmethyl]-3-pyrrolidinyl]-N-methyl-β-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



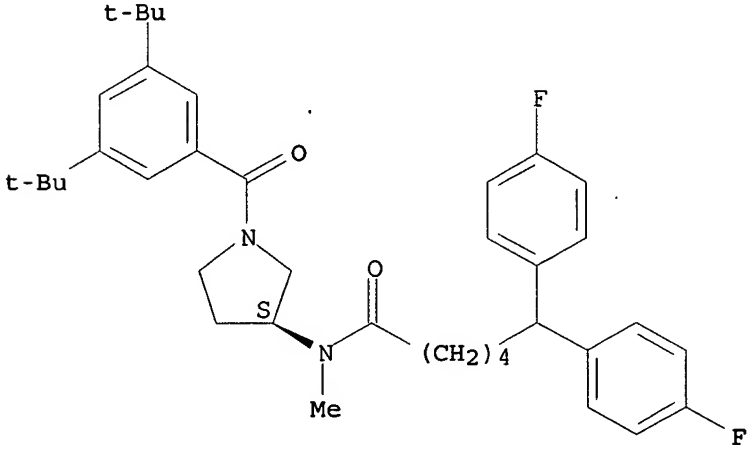
RN 861104-66-7 CAPLUS
CN Benzenehexanamide, N-[(3R)-1-[3,5-bis(1,1-dimethylethyl)benzoyl]-3-pyrrolidinyl]-4-fluoro-ε-(4-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



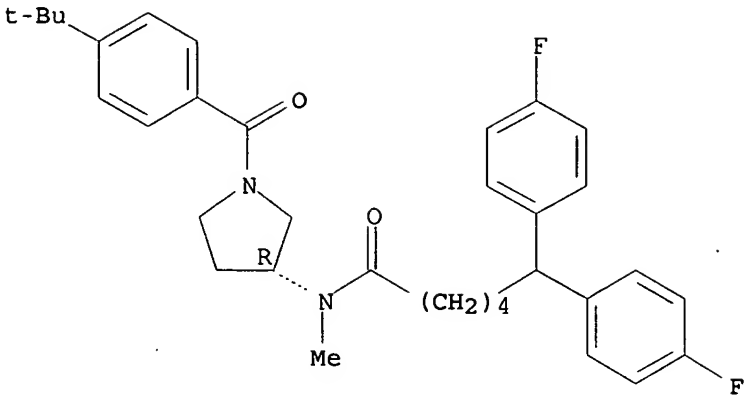
RN 861104-68-9 CAPLUS
CN Benzenehexanamide, N-[(3S)-1-[3,5-bis(1,1-dimethylethyl)benzoyl]-3-pyrrolidinyl]-4-fluoro-ε-(4-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



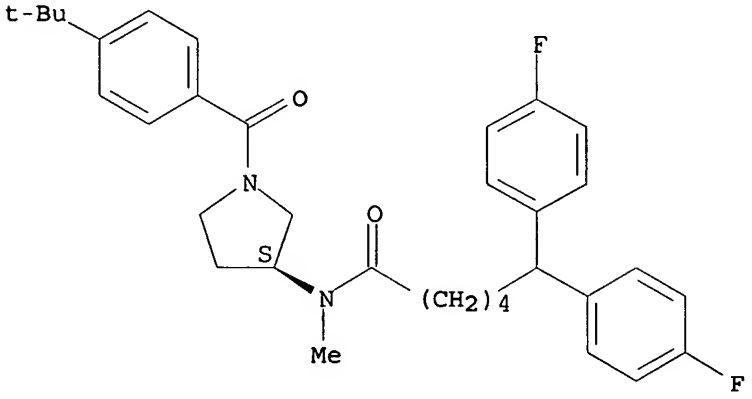
RN 861104-70-3 CAPLUS
CN Benzenehexanamide, N-[(3R)-1-[4-(1,1-dimethylethyl)benzoyl]-3-pyrrolidinyl]-4-fluoro-ε-(4-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



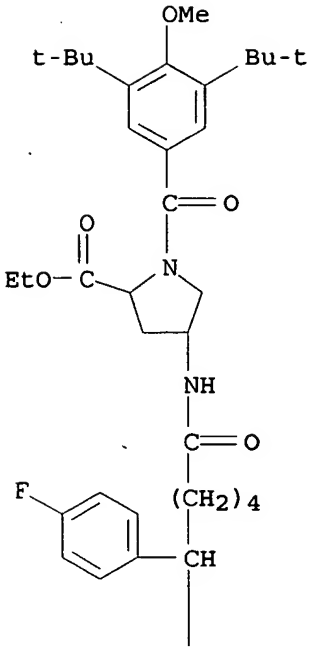
RN 861104-72-5 CAPLUS
CN Benzenehexanamide, N-[(3S)-1-[4-(1,1-dimethylethyl)benzoyl]-3-pyrrolidinyl]-4-fluoro-ε-(4-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

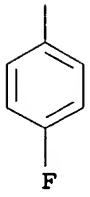


RN 861104-76-9 CAPLUS
CN Proline, 1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]-4-[[6,6-bis(4-fluorophenyl)-1-oxohexyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

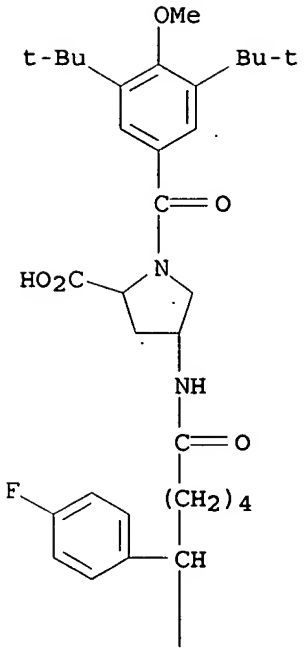


PAGE 2-A

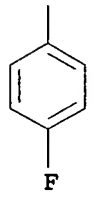


RN 861104-77-0 CAPLUS
CN Proline, 1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]-4-[[6,6-bis(4-fluorophenyl)-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

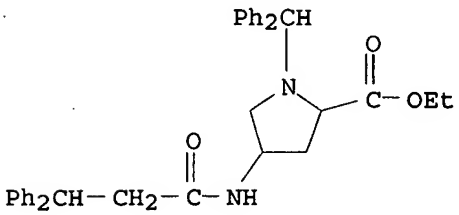
PAGE 1-A



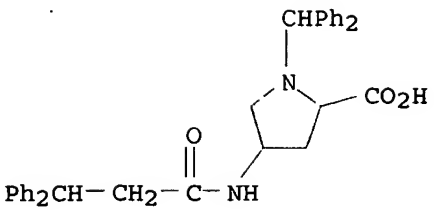
PAGE 2-A



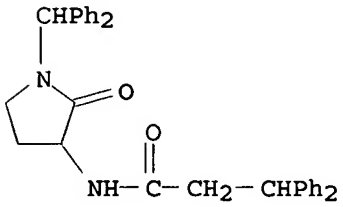
RN 861104-78-1 CAPLUS
CN Proline, 1-(diphenylmethyl)-4-[(1-oxo-3,3-diphenylpropyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 861104-79-2 CAPLUS
CN Proline, 1-(diphenylmethyl)-4-[(1-oxo-3,3-diphenylpropyl)amino]- (9CI) (CA INDEX NAME)

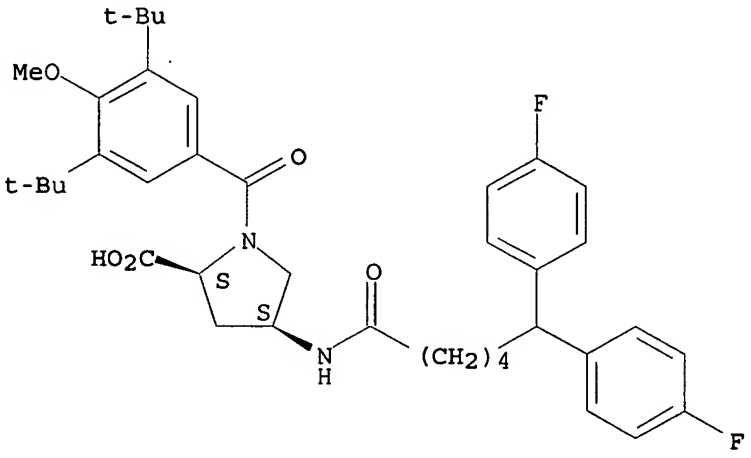


RN 861104-80-5 CAPLUS
CN Benzenepropanamide, N-[1-(diphenylmethyl)-2-oxo-3-pyrrolidinyl]-β-phenyl- (9CI) (CA INDEX NAME)



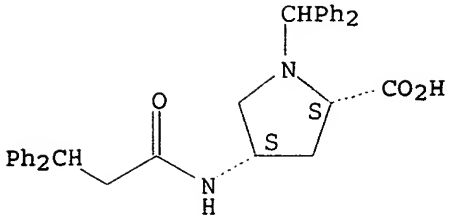
RN 861104-92-9 CAPLUS
CN L-Proline, 1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]-4-[[6,6-bis(4-fluorophenyl)-1-oxohexyl]amino]-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



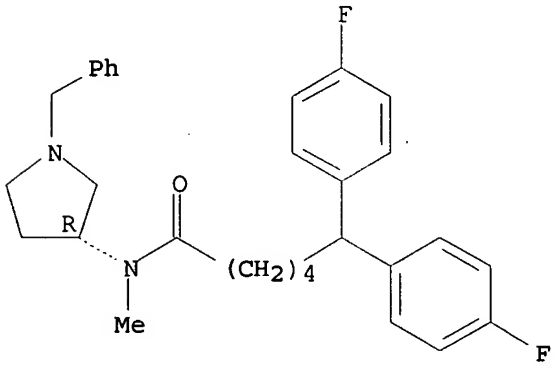
RN 861104-95-2 CAPLUS
CN L-Proline, 1-(diphenylmethyl)-4-[(1-oxo-3,3-diphenylpropyl)amino]-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



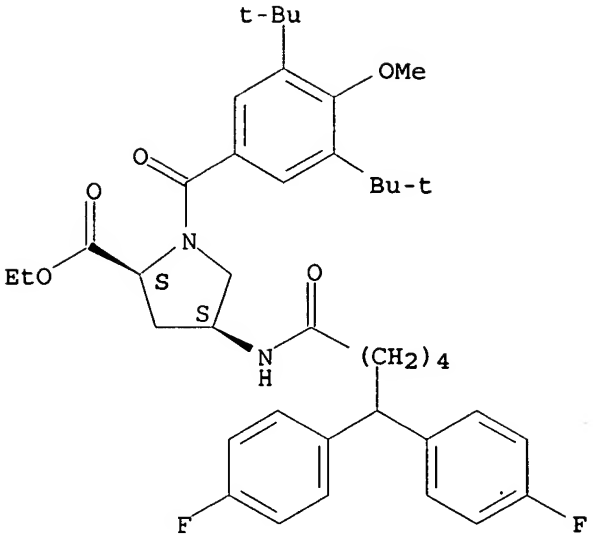
IT 861104-35-0P 861104-91-8P 861104-93-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-aminopyrrolidine derivs. useful as N-type calcium channel blockers)
RN 861104-35-0 CAPLUS
CN Benzenehexanamide, 4-fluoro-ε-(4-fluorophenyl)-N-methyl-N-[(3R)-1-(phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



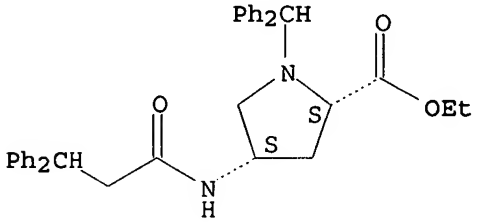
RN 861104-91-8 CAPLUS
CN L-Proline, 1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]-4-[[6,6-bis(4-fluorophenyl)-1-oxohexyl]amino]-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



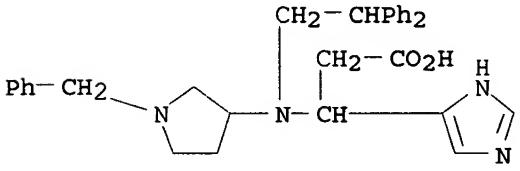
RN 861104-93-0 CAPLUS
CN L-Proline, 1-(diphenylmethyl)-4-[(1-oxo-3,3-diphenylpropyl)amino]-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:199497 CAPLUS
DOCUMENT NUMBER: 142:430196
TITLE: Novel β-(imidazol-4-yl)-β-amino acids: solid-phase synthesis and study of their inhibitory activity against geranylgeranyl protein transferase type I
AUTHOR(S): Saha, Ashis K.; End, David W.
CORPORATE SOURCE: Janssen Research Foundation, Welsh & McKean Roads, Spring House, PA, 19477, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(6), 1713-1719
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:430196
AB Solid-phase synthesis of imidazolyl-β-amino acid derivs. is described. Several analogs demonstrated moderate inhibition of geranylgeranyl protein transferase type I (GGPT I).
IT 850883-74-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(solid-phase synthesis and inhibitory activity against geranylgeranyl protein transferase type I of β-(imidazol-4-yl)-β-amino acids)
RN 850883-74-8 CAPLUS
CN 1H-Imidazole-4-propanoic acid, β-[(2,2-diphenylethyl)[1-(phenylmethyl)-3-pyrrolidinyl]amino]- (9CI) (CA INDEX NAME)

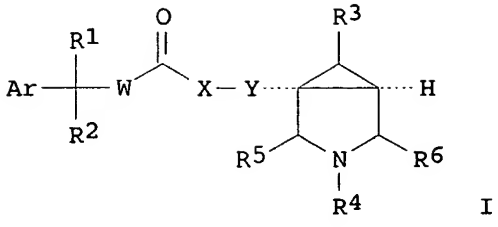


REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:878286 CAPLUS
DOCUMENT NUMBER: 141:366133
TITLE: Preparation of substituted azabicyclo hexane derivatives as muscarinic receptor antagonists
INVENTOR(S): Mehta, Anita; Silamkoti, Arundutt Viswanatham
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India; Gupta, Jang Bahadur
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089363	A1	20041021	WO 2003-IB1333	20030410
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2521788	AA	20041021	CA 2003-2521788	20030410
AU 2003214535	A1	20041101	AU 2003-214535	20030410
EP 1615634	A1	20060118	EP 2003-710114	20030410
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003018242	A	20060404	BR 2003-18242	20030410
JP 2006514978	T2	20060518	JP 2004-570503	20030410
CN 1794984	A	20060628	CN 2003-826537	20030410

PRIORITY APPLN. INFO.: WO 2003-IB1333 W 20030410
OTHER SOURCE(S): CASREACT 141:366133; MARPAT 141:366133
GI



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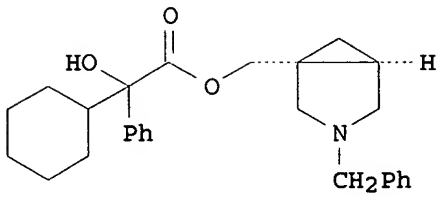


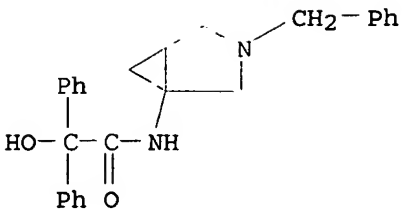
II

AB This invention generally relates to preparation of derivs. of substituted azabicyclo hexanes of formula I [Ar = (un)substituted-aryl or -heteroaryl ring; R1 = H, OH, HOCH2, amino, alkoxy, carbamoyl or halo; R2 = H, alkyl, cycloalkyl, cycloalkenyl, (un)substituted-aryl or -heteroaryl ring; W = (CH2)p, where p = 0-1; X = O, S, bond, NH, or alkylamine; Y = (CH2)q, where q = 0-1; R3-5 independently = H, alkyl, CO2H, CONH2, NH2, CH2NH2; R4 = H, (un)substituted, (un)saturated-aliphatic hydrocarbon], and their pharmaceutically acceptable salts, with ability to function as muscarinic receptor antagonists. Thus, e.g., II was prepared by reaction of 2-cyclohexyl-2-hydroxy-2-phenylacetic acid with 3-benzyl-1-methanesulfonylmethyl-5-azabicyclo[3.1.0]hexane (preparation given). In receptor binding assays, I possessed pKi's ranging from 4.8-9.16 for M2- and 5.1-8.74 for M3-muscarinic receptor subtypes. I, as muscarinic receptor antagonists, can be used for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to a process for the preparation of the compds. of the present invention, pharmaceutical compns. containing the compds. of the present invention and the methods of treating the diseases mediated through muscarinic receptors.

IT 777890-69-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of azabicyclohexane derivs. as muscarinic receptor antagonists useful for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems)

RN 777890-69-4 CAPLUS

CN Benzeneacetamide, α-hydroxy-α-phenyl-N-[3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-1-yl]- (9CI) (CA INDEX NAME)

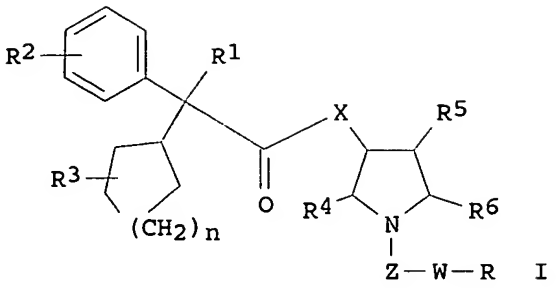


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:546475 CAPLUS
DOCUMENT NUMBER: 141:106362
TITLE: Preparation of 1-substituted-3-pyrrolidine derivatives as muscarinic receptor antagonists
INVENTOR(S): Mehta, Anita; Gupta, Jang Bahadur; Sarma, Pakala Kumara Savithru
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056767	A1	20040708	WO 2002-IB5590	20021223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002347552	A1	20040714	AU 2002-347552	20021223
EP 1583741	A1	20051012	EP 2002-783480	20021223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.: WO 2002-IB5590 A 20021223
OTHER SOURCE(S): CASREACT 141:106362; MARPAT 141:106362
GI



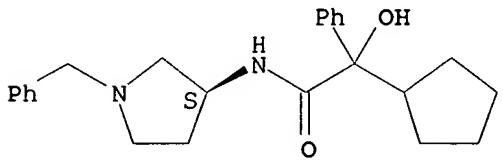
AB Title muscarinic receptor antagonists I (X = O, NH, etc.; R1 = OH, etc.; R2 = H, halo, alkyl; R3 = H, OH, etc.; R4, R5, R6 = H, alkyl; ; Z = CH2, SO2, carbonyl; W = alkylene, etc.; R = alkyl, aryl, etc.), useful for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors, are prepared The affinity of these compds. for M2 and M3 muscarinic receptor subtype was tested. For example, (3S)-1-benzylpyrrolidin-3-yl cyclopentyl(hydroxy)phenylacetate was prepared and had pKi = 6.13/7.17 for the M2 and M3 receptor subtype resp.

IT 719278-59-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 1-substituted-3-pyrrolidine derivs. as muscarinic receptor antagonists)

RN 719278-59-8 CAPLUS

CN Benzeneacetamide, α-cyclopentyl-α-hydroxy-N-[(3S)-1-(phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:115088 CAPLUS

DOCUMENT NUMBER: 134:178141

TITLE: Preparation of oxoazacycloalkanes and analogs

INVENTOR(S): Hulme, Christopher; Morton, George C.; Salvino, Joseph M.; Labaudiniere, Richard F.; Mason, Helen J.; Morrissette, Mathew M.; Ma, Liang; Cherrier, Marie-Pierre

PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products, Inc., USA

SOURCE: PCT Int. Appl., 176 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

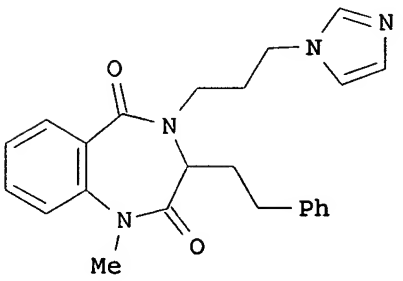
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001010799	A1	20010215	WO 2000-US21257		20000803
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
US 6492553	B1	20021210	US 1999-368213		19990804
EP 1212269	A1	20020612	EP 2000-955355		20000803
EP 1212269	B1	20041027			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, IE, SI, LT, LV, FI, RO, MK, CY, AL					
JP 2003506420	T2	20030218	JP 2001-515272		20000803
AT 280744	E	20041115	AT 2000-955355		20000803
ES 2230143	T3	20050501	ES 2000-955355		20000803
HK 1046897	A1	20050415	HK 2002-108269		20021115
PRIORITY APPLN. INFO.:			US 1999-368213	A	19990804
			US 1998-73007P	P	19980129
			US 1998-98404P	P	19980831
			US 1998-98708P	P	19980901
			US 1998-101056P	P	19980918
			WO 1999-US1923	A2	19990129
			WO 2000-US21257	W	20000803

OTHER SOURCE(S): CASREACT 134:178141; MARPAT 134:178141

GI



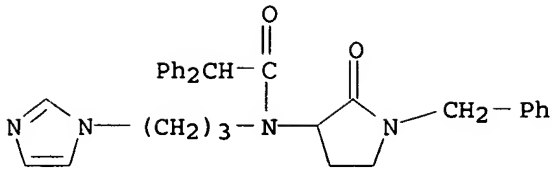
I

AB The title process comprises, e.g., Ugi condensation of N-protected anthranilic acids, amines, aldehydes, and an isocyanide followed by deprotection and cyclization. Thus, 2-(BocMeN)C6H4CO2H, imidazole-1-propanamine, PhCH2CH2CHO, and an isocyanide were combined to give title compound I.

IT 234781-55-6P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of oxoazacycloalkanes and analogs)

RN 234781-55-6 CAPLUS

CN Benzeneacetamide, N-[3-(1H-imidazol-1-yl)propyl]-N-[2-oxo-1-(phenylmethyl)-3-pyrrolidinyl]-α-phenyl- (9CI) (CA INDEX NAME)

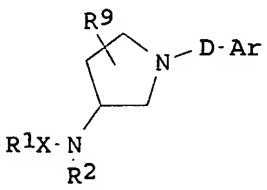


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:314672 CAPLUS
DOCUMENT NUMBER: 132:334358
TITLE: Preparation of pyrrolidine compounds as antagonists of serotonin 2 receptor
INVENTOR(S): Kuroita, Takanobu; Fujio, Masakazu; Nakagawa, Haruto
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000026186	A1	20000511	WO 1999-JP6002		19991028
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2348879	AA	20000511	CA 1999-2348879		19991028
AU 9963673	A1	20000522	AU 1999-63673		19991028
EP 1125922	A1	20010822	EP 1999-951139		19991028
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
US 6468998	B1	20021022	US 2001-830718		20010501
PRIORITY APPLN. INFO.:			JP 1998-311868	A	19981102
			WO 1999-JP6002	W	19991028

OTHER SOURCE(S): MARPAT 132:334358
GI



I

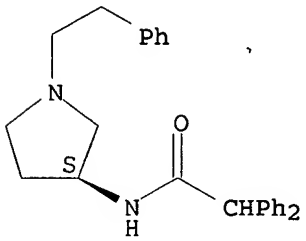
AB Described are pyrrolidine compds. represented by general formula [I; R1 = Q-Q5, etc. a proviso is given; R9 = H, C1-6 alkyl, C1-6 alkoxy, C1-6 hydroxyalkyl; X = CO, CS, NHCO, SO, SO2; R2 = H, alkyl, acyl, (un)substituted arylalkyl, (un)substituted aromatic ring, heterocyclic ring containing at least one atom selected from O, N, and S; D = C1-6 (un)substituted alkyl, alkenyl, etc], optically active isomers thereof or pharmaceutically acceptable salts of the same; and medicinal compns. containing the compds. of general formula I, optically active isomers thereof or pharmaceutically acceptable salts of the same together with pharmaceutically acceptable additives. These compds. have an antagonism to serotonin 2 receptor, a platelet aggregation inhibitory effect, a peripheral circulation improving effect and a lacrimal secretion promoting effect, which makes them useful as drugs for thromboembolism, dry eye, etc. Thus, 2-(4-fluorophenyl)ethyl p-toluenesulfonate and (S)-N-(pyrrolidin-3-yl)-1-adamantanecarboxamide were dissolved in DMF and stirred with K2CO3 at 70° for 5 h to give (S)-N-[1-[2-(4-fluorophenyl)ethyl]pyrrolidin-3-yl]-1-adamantanecarboxamide (II) which was converted into the HCl salt. II.HCl in vitro inhibited the binding of 3H-ketanserin to 5-HT2 receptor preparation from rat cerebral cortex synapse with IC50 of 0.18 nM vs. sarpogrelate. It in vitro showed IC50 of 1.9 μg/mL for inhibiting the collagen-induced rabbit blood platelet aggregation vs. 260 and 1,378 for sarpogrelate and cilostazol, resp.

IT 267643-80-1P 267643-81-2P 267643-84-5P
267643-85-6P 267643-86-7P 267644-02-0P
267644-12-2P 267644-14-4P 267644-15-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidine compds. as antagonists of serotonin 2 receptor for drugs)

RN 267643-80-1 CAPLUS

CN Benzeneacetamide, α-phenyl-N-[(3S)-1-(2-phenylethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



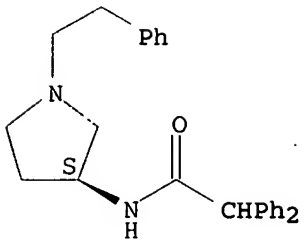
RN 267643-81-2 CAPLUS

CN Benzeneacetamide, α-phenyl-N-[(3S)-1-(2-phenylethyl)-3-pyrrolidinyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

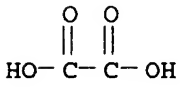
CRN 267643-80-1
CMF C26 H28 N2 O

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

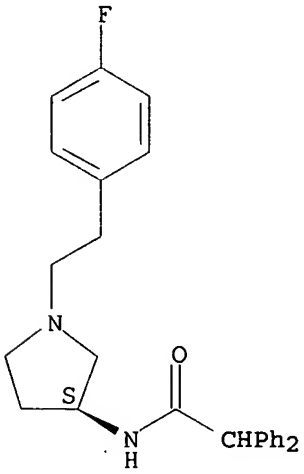


RN 267643-84-5 CAPLUS
CN Benzeneacetamide, N-[(3S)-1-[2-(4-fluorophenyl)ethyl]-3-pyrrolidinyl]-α-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

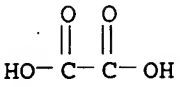
CRN 267643-83-4
CMF C26 H27 F N2 O

Absolute stereochemistry.



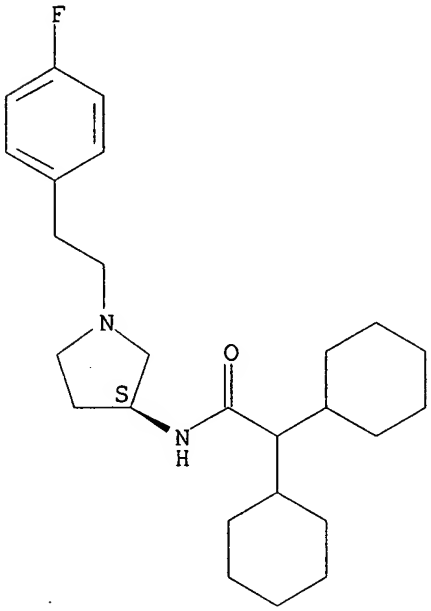
CM 2

CRN 144-62-7
CMF C2 H2 O4



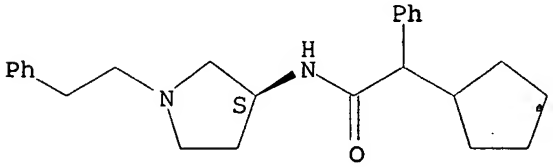
RN 267643-85-6 CAPLUS
CN Cyclohexaneacetamide, α-cyclohexyl-N-[(3S)-1-[2-(4-fluorophenyl)ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 267643-86-7 CAPLUS
CN Benzeneacetamide, α-cyclopentyl-N-[(3S)-1-(2-phenylethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

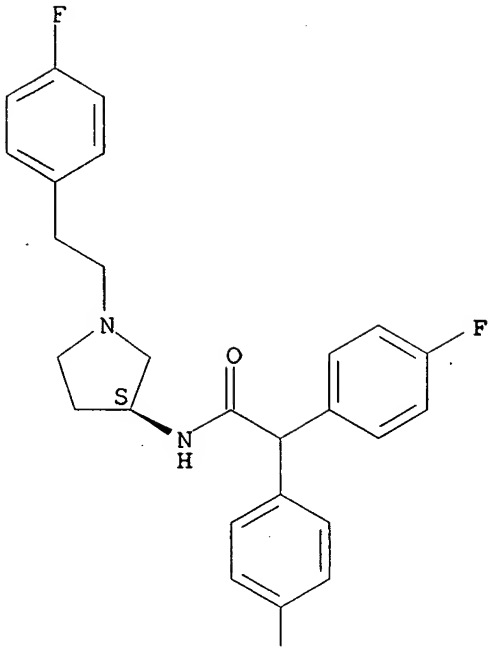
Absolute stereochemistry.



RN 267644-02-0 CAPLUS
CN Benzeneacetamide, 4-fluoro-α-(4-fluorophenyl)-N-[(3S)-1-[2-(4-fluorophenyl)ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

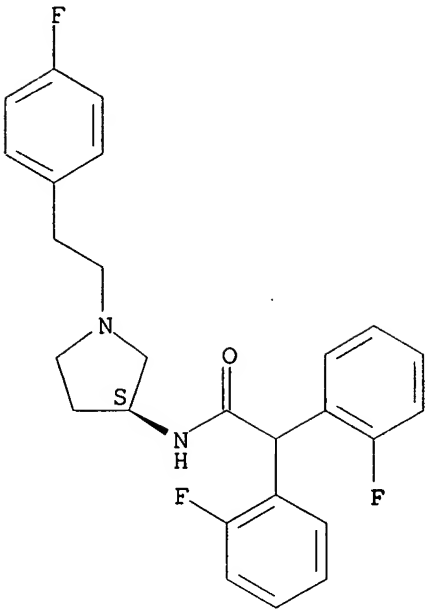
PAGE 1-A



PAGE 2-A

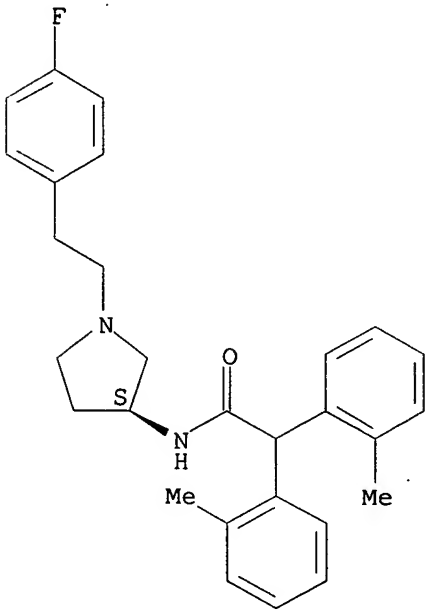
RN 267644-12-2 CAPLUS
CN Benzeneacetamide, 2-fluoro-α-(2-fluorophenyl)-N-[(3S)-1-[2-(4-fluorophenyl)ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



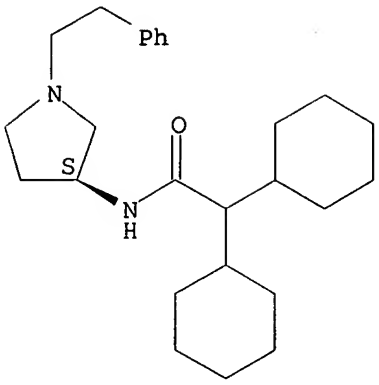
RN 267644-14-4 CAPLUS
CN Benzeneacetamide, N-[(3S)-1-[2-(4-fluorophenyl)ethyl]-3-pyrrolidinyl]-2-methyl-α-(2-methylphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



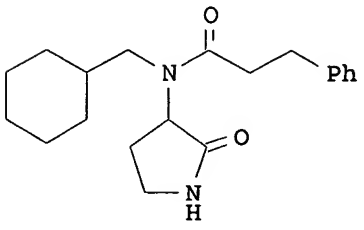
RN 267644-15-5 CAPLUS
CN Cyclohexaneacetamide, α-cyclohexyl-N-[(3S)-1-(2-phenylethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

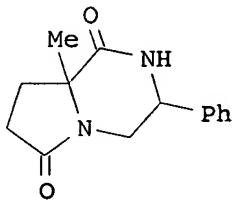


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:226851 CAPLUS
DOCUMENT NUMBER: 133:17439
TITLE: Novel applications of convertible isonitriles for the synthesis of mono and bicyclic γ-lactams via a UDC strategy
AUTHOR(S): Hulme, Christopher; Ma, Liang; Cherrier, Marie-Pierre; Romano, Joseph J.; Morton, George; Duquenne, Celine; Salvino, Joseph; Labaudiniere, Richard
CORPORATE SOURCE: New Leads Discovery, New Leads Discovery, Rhone-Poulenc Rorer Central Research, Collegeville, PA, 19426, USA
SOURCE: Tetrahedron Letters (2000), 41(12), 1883-1887
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



II

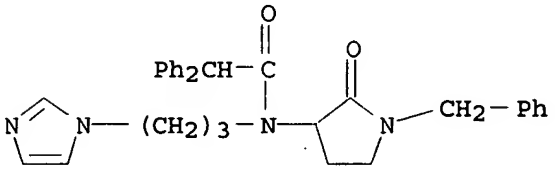
AB This communication reveals a novel application of the so-called convertible isonitriles for the solution/solid phase generation of γ-lactam analogs. Use of tethered N-BOC aldehydes, e.g., BocNHCH2CH2CHO, in the Ugi multi-component reaction (MCR), followed by BOC removal and base treatment (a "3-step, 1-pot procedure") affords γ-lactams, e.g., I, in good yield. The UDC (Ugi/De-BOC/Cyclize) strategy, coupled with a convertible isonitrile, is now feasible from all three substitution sites of the Ugi product. A conceptually novel approach, combining a bi-functional precursor with a post-condensation

modification to give fused lactam-ketopiperazines, e.g., II, is also revealed.

IT 234781-55-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of γ-lactams from carboxylic acids and amines via UDC strategy using isonitriles)

RN 234781-55-6 CAPLUS

CN Benzeneacetamide, N-[3-(1H-imidazol-1-yl)propyl]-N-[2-oxo-1-(phenylmethyl)-3-pyrrolidinyl]-α-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:495272 CAPLUS
DOCUMENT NUMBER: 131:130011
TITLE: Preparation of N-acyl-2-aminoacetamides and cyclization products thereof.
INVENTOR(S): Hulme, Christopher; Morton, George C.; Salvino, Joseph M.; Labaudiniere, Richard F.; Mason, Helen J.; Morrissette, Matthew M.; Ma, Liang; Cherrier, Marie-Pierre
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9938844	A1	19990805	WO 1999-US1923	19990129
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2318601	AA	19990805	CA 1999-2318601	19990129
AU 9924821	A1	19990816	AU 1999-24821	19990129
AU 747987	B2	20020530		
ZA 9900729	A	20000110	ZA 1999-729	19990129
EP 1051397	A1	20001115	EP 1999-904421	19990129
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BR 9908207	A	20001128	BR 1999-8207	19990129
JP 2002501944	T2	20020122	JP 2000-530081	19990129
AP 1462	A	20050930	AP 2000-1864	19990129

W: GH, GM, KE, LS, MW, SD, SZ, UG, ZW

US 6492553	B1	20021210	US 1999-368213	19990804
NO 2000003792	A	20000927	NO 2000-3792	20000724
BG 104724	A	20010330	BG 2000-104724	20000829
PRIORITY APPLN. INFO.:			US 1998-73007P	A2 19980129
			US 1998-98404P	A2 19980831
			US 1998-98708P	A2 19980901
			US 1998-101056P	A2 19980918
			WO 1999-US1923	W 19990129

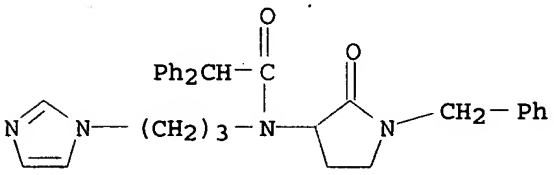
OTHER SOURCE(S): MARPAT 131:130011

AB RaRbNCRcaRcbRd Ra = RaaCO; Dd = CONHRda; Raa, Rb, Rca, Rcb = H, (substituted) aliphatyl, aryl; Rda = (substituted) aliphatyl, aryl; with provisos were prepared by reaction of RcaCORcb with RbNH2, RaCO2H, and NCRda. Title compds. may be prepared on a isocyanide resin and deprotected/cyclized to give 1,4-benzodiazepine-2,5-diones, diketopiperazines, ketopiperazines, lactams, 1,4-benzodiazapines, and dihydroquinoxalinones.

IT 234781-55-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of N-acyl-2-aminoacetamides and cyclization products thereof)

RN 234781-55-6 CAPLUS

CN Benzeneacetamide, N-[3-(1H-imidazol-1-yl)propyl]-N-[2-oxo-1-(phenylmethyl)-3-pyrrolidinyl]-α-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:134849 CAPLUS

DOCUMENT NUMBER: 126:157509

TITLE: Preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclylamide compounds as Factor Xa inhibitors

INVENTOR(S): Ewing, William R.; Becker, Michael R.; Pauls, Henry W.; Cheney, Daniel L.; Mason, Jonathan Stephen; Spada, Alfred P.; Choi-Sledeski, Yong Mi

PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 272 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640679	A1	19961219	WO 1996-US9816	19960607

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,

	SG, SI				
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,				
	IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
US 5612353		A	19970318	US 1995-481024	19950607
CA 2223403		AA	19961219	CA 1996-2223403	19960607
CA 2223403		C	20020423		
AU 9661669		A1	19961230	AU 1996-61669	19960607
AU 714319		B2	20000106		
EP 853618		A1	19980722	EP 1996-919298	19960607
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LV, FI				
CN 1190395		A	19980812	CN 1996-194489	19960607
JP 11507368		T2	19990629	JP 1996-502029	19960607
BR 9608405		A	19990824	BR 1996-8405	19960607
AP 799		A	20000119	AP 1997-1144	19960607
NO 9705762		A	19980206	NO 1997-5762	19971208
NO 310457		B1	20010709		
BG 63628		B1	20020731	BG 1998-102162	19980106
US 6034093		A	20000307	US 1998-130336	19980806
PRIORITY APPLN. INFO.:				US 1995-481024 A	19950607
				WO 1996-US9816 W	19960607
				US 1996-761414 A2	19961206
				US 1997-976034 A2	19971121
				WO 1997-US22414 A2	19971201

OTHER SOURCE(S): MARPAT 126:157509

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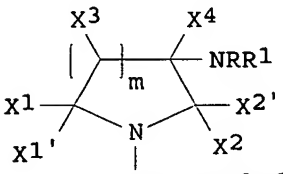


$(CH_2)_nAr^1X^6X^{6'}CX^5X^{5'}NHR^2$ I

AB About 165 title compds. I [R = H, alkyl, aralkyl, hydroxyalkyl; R1 = H, R3S(O)p, R3R4NS(O)p; R2 = H, alkyl,aralkyl; R3 = alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl; RR3 = 5-7 membered ring; R4 = alkyl, cycloalkyl, aryl, heteroaryl; R3R4N = 4-7 membered heterocyclyl; X1, X1' = H, alkyl, aryl, aralkyl, etc.; X1X1' = oxo; X2, X2' = H; X2X2' = O; X4 = H, alkyl, aralkyl, hydroxyalkyl; X5, X5' = H; X5X5' = NR5; R5 = H, R6O2C, R6O, cyano, R6CO, alkyl, NO2, etc.; X6, X6' = H, R7R8N, R9O, R7R8NCO, R7R8NSO2, etc.; R7, R8 = H, alkyl; R9 = H, alkyl, acyl, etc.; m = 0-3; n = 1-3; p = 1, 2] were prepared I are inhibitors of the activity of Factor Xa. E.g., 7-hydroxynaphthalene-2-sulfonic acid Na salt was methylated with di-Me sulfate/NaOH, treated with phosphorus oxychloride/PCl5, and reacted with 3-(3S-amino-2-oxopyrrolidin-1-ylmethyl)benzonitrile hydrochloride to give 7-hydroxynaphthalene-2-sulfonic acid {1-[3-(aminoiminomethyl)benzyl]-2-oxopyrrolidin-3(S)-yl}amide trifluoroacetate. In a test of Factor Xa inhibition, the last had a Ki value of 35 nM.

IT 186548-46-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

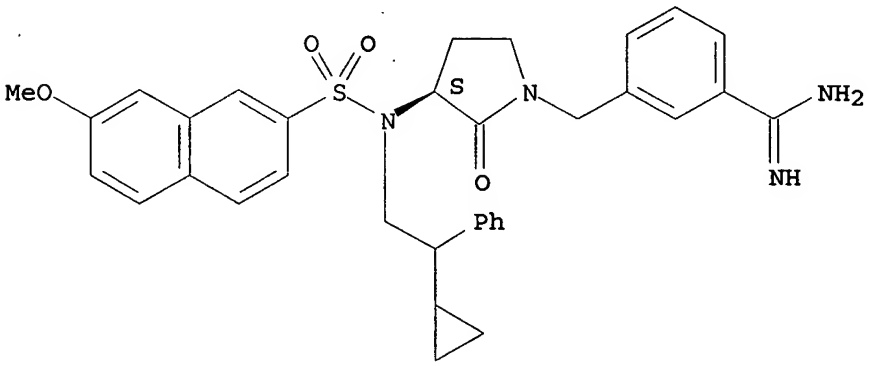
(preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclylamide

compds. as Factor Xa inhibitors)
RN 186548-46-9 CAPLUS
CN Benzenecarboximidamide, 3-[[3-[(2-cyclopropyl-2-phenylethyl)[(7-methoxy-2-naphthalenyl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]methyl]-, (3S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

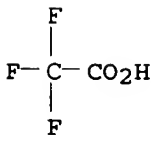
CRN 186548-45-8
CMF C34 H36 N4 O4 S

Absolute stereochemistry.



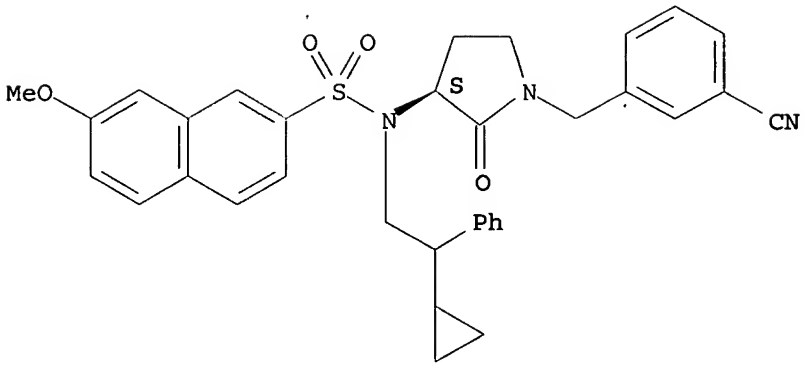
CM 2

CRN 76-05-1
CMF C2 H F3 O2



IT 186551-46-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclylamide compds. as Factor Xa inhibitors)
RN 186551-46-2 CAPLUS
CN 2-Naphthalenesulfonamide, N-[1-[(3-cyanophenyl)methyl]-2-oxo-3-pyrrolidinyl]-N-(2-cyclopropyl-2-phenylethyl)-7-methoxy-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	58.10	396.14

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-8.25	-8.25

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